

INVESTOR IN PEOPLE

The Patent Office  
Concept House  
Cardiff Road  
Newport  
South Wales  
NP10 8QQ

I, the undersigned, being an officer duly authorised in accordance with Section 74(1) and (4) of the Deregulation & Contracting Out Act 1994, to sign and issue certificates on behalf of the Comptroller-General, hereby certify that annexed hereto is a true copy of the documents as originally filed in connection with the patent application identified therein.

In accordance with the Patents (Companies Re-registration) Rules 1982, if a company named in this certificate and any accompanying documents has re-registered under the Companies Act 1980 with the same name as that with which it was registered immediately before re-registration save for the substitution as, or inclusion as, the last part of the name of the words "public limited company" or their equivalents in Welsh, references to the name of the company in this certificate and any accompanying documents shall be treated as references to the name with which it is so re-registered.

In accordance with the rules, the words "public limited company" may be replaced by p.l.c., plc, P.L.C. or PLC.

Re-registration under the Companies Act does not constitute a new legal entity but merely subjects the company to certain additional company law rules.

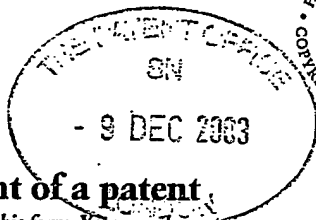
Signed

Dated 15 November 2004

**PRIORITY DOCUMENT**  
SUBMITTED OR TRANSMITTED IN  
COMPLIANCE WITH  
RULE 17.1(a) OR (b)

Patents Form 1/77

Pat. Act 1977  
Schedule 16)



**Request for grant of a patent**

(See the notes on the back of this form. You can also get an explanatory leaflet from the Patent Office to help you fill in this form)

The Patent Office

Cardiff Road  
Newport  
South Wales  
NP10 8QQ

1. Your reference

PPD 70337/GB

2. Patent application number

(The Patent Office will fill in this part)

09 DEC 2003

0328530.1

3. Full name, address and postcode of the or of each applicant (underline all surnames)

SYNGENTA Limited  
European Regional Centre  
Priestley Road  
Surrey Research Park, Guildford,  
Surrey, GU2 7YH, United Kingdom

Patents ADP number (if you know it)

6254007002

08330748001

If the applicant is a corporate body, give the country/state of its incorporation

UNITED KINGDOM

4. Title of the invention

AGROCHEMICAL COMPOSITION

5. Name of your agent (if you have one)

Michael James RICKS  
Intellectual Property Department  
Syngenta Limited  
Jealott's Hill International Research Centre  
PO Box 3538  
Bracknell, Berkshire, RG42 6YA  
UNITED KINGDOM

"Address for service" in the United Kingdom to which all correspondence should be sent (including the postcode)

Patents ADP number (if you know it)

080 29563001

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and (if you know it) the or each application number

Country

Priority application number  
(if you know it)

Date of filing  
(day / month / year)

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application

Date of filing  
(day / month / year)

8. Is a statement of inventorship and of right to grant of a patent required in support of this request? (Answer 'Yes' if:

a) any applicant named in part 3 is not an inventor, or

b) there is an inventor who is not named as an applicant, or

c) any named applicant is a corporate body.

See note (d))

YES

**Patents Form 1/77**

9. Enter the number of sheets for any of the following items you are filing with this form.  
Do not count copies of the same document

Continuation sheets of this form	05
Description	02
Claim(s)	00
Abstract	00
Drawing(s)	00

10. If you are also filing any of the following, state how many against each item.

Priority documents

Translations of priority documents

Statement of inventorship and right to grant of a patent (Patents Form 7/77)

Request for preliminary examination and search (Patents Form 9/77)

Request for substantive examination (Patents Form 10/77)

Any other documents (please specify)

11.

I/We request the grant of a patent on the basis of this application.  
Syngenta Limited

Signature

C. Dowling  
Authorised Signatory

Date 8<sup>th</sup> Dec 2001

12. Name and daytime telephone number of person to contact in the United Kingdom

Clare DOWLING = 01344 414834

**Warning**

After an application for a patent has been filed, the Comptroller of the Patent Office will consider whether publication or communication of the invention should be prohibited or restricted under Section 22 of the Patents Act 1977. You will be informed if it is necessary to prohibit or restrict your invention in this way. Furthermore, if you live in the United Kingdom, Section 23 of the Patents Act 1977 stops you from applying for a patent abroad without first getting written permission from the Patent Office unless an application has been filed at least 6 weeks beforehand in the United Kingdom for a patent for the same invention and either no direction prohibiting publication or communication has been given, or any such direction has been revoked.

**Notes**

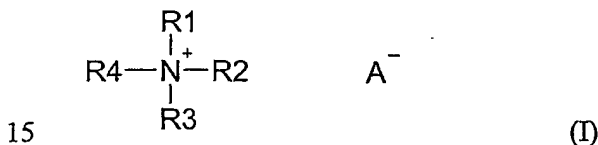
- a) If you need help to fill in this form or you have any questions, please contact the Patent Office on 08459 500505.
- b) Write your answers in capital letters using black ink or you may type them.
- c) If there is not enough space for all the relevant details on any part of this form, please continue on a separate sheet of paper and write "see continuation sheet" in the relevant part(s). Any continuation sheet should be attached to this form.
- d) If you have answered 'Yes' Patents Form 7/77 will need to be filed.
- e) Once you have filled in the form you must remember to sign and date it.
- f) For details of the fee and ways to pay please contact the Patent Office.

AGROCHEMICAL COMPOSITION

The present invention relates to an agrochemical composition and in particular to an aqueous composition comprising an agrochemical active ingredient and an  
 5 adjuvant.

Agrochemical active ingredients are generally utilised in combination with an adjuvant, which is frequently a surfactant. Most commonly adjuvants are added to enhance the bioperformance of the active ingredient and many such bioperformance enhancing adjuvants are known to those skilled in the art. We have now found that  
 10 certain amines provide effective bioperformance enhancement of the active ingredient despite having little or no surfactant properties.

According to the present invention there is provided a method of improving the activity of an agrochemical which comprises incorporating an amine of formula (I) or a salt thereof in a composition comprising the agrochemical



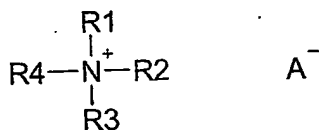
wherein  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl or  $\text{R}^1$  is hydrogen and  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl

or  $\text{R}^1$  and  $\text{R}^2$  are hydrogen and  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$   
 20 to  $\text{C}_4$  alkyl

and  $\text{A}^-$  is an agrochemically acceptable anion.

As specific amines suitable for use in the present invention there may be mentioned diethylamine or a salt thereof, triethylamine or a salt thereof, a tetraethylammonium salt, a tetrapropylammonium salt and a tetrabutylammonium  
 25 salt. It is preferred however that the amine of the present invention is not a triethylamine salt or a tetraethylammonium salt

Thus according to a further aspect of the present invention there is provided an agrochemical composition comprising an agrochemical active ingredient and a amine of formula (1)



(I)

wherein  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl or  $\text{R}^1$  is hydrogen and  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl

5 or  $\text{R}^1$  and  $\text{R}^2$  are hydrogen and  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl

and  $\text{A}^-$  is an agrochemically acceptable anion

provided that  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  are not all ethyl and that when  $\text{R}^1$  is hydrogen  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  are not all ethyl.

10 The amines of the present invention are basic compounds and if used in its basic form may be incompatible with base-sensitive agrochemicals such as paraquat as well as being a potential hazard to human exposure. It is preferred therefore that in normal use and in particular when used with base-sensitive agrochemicals, the amines of the present invention are neutralised in whole or part. The amines of the present  
15 invention may conveniently be neutralised by the addition of acid, for example a mineral acid such as a halide acid, for example hydrochloric acid or an organic acid such as acetic acid. The amines of the present invention may also however be neutralised by the addition of any suitable anionic acid species, including anionic surfactants as will be described in greater detail below. The term "a salt of the amines  
20 of the present invention" as used herein includes the amines of the present invention whether wholly or partially neutralised by an anionic species ( $\text{A}^-$ ) and does not necessarily imply the physical association of the amine cation and the anionic species in the composition. It will generally be convenient to neutralise or partially neutralise the amines of the present invention prior to incorporation in the composition of the  
25 invention.

The term agrochemical as used herein includes without limitation herbicides, insecticides, fungicides, plant growth regulators and seed treatment agents. It is preferred that the agrochemical composition is an aqueous composition and it is especially preferred that the agrochemical is a water-soluble agrochemical. The  
30 aqueous agrochemical composition will generally be applied to the target by spraying and the composition may be a concentrate which is designed to be diluted with water

prior to application or may be ready for application. Specifically, the amines of the present invention or a salt thereof may be incorporated into the spray composition prior to application as a tank mix or may form a component of an agrochemical concentrate intended for dilution prior to use. It is a particular advantage of the salts of amines of the present invention they are readily soluble in water and are generally compatible with water-soluble agrochemicals. Salts of amines of the present invention are thus particularly suitable to be "built-in" to a concentrate comprising a water-soluble active ingredient.

Suitable agrochemical active ingredients are known to those skilled in the art and are listed in standard reference works such the Pesticide Manual. As examples of suitable water-soluble active ingredients there may be mentioned paraquat, diquat, glyphosate, fomesafen, thiamethoxam, mesotrione, and trifloxysulfuron. By the term "water-soluble" agrochemical is meant an agrochemical having a solubility in water of at least 1 g/l and preferably at least 4 g/l, for example at least 100g/l. Of course many agrochemicals have a much higher solubility, for example 300 g/l or more or up to 500 or 600 g/l or more. Paraquat and diquat are particularly suitable agrochemical active ingredients

Thus according to a further aspect of the present invention there is provided an aqueous agrochemical composition comprising paraquat or diquat and a salt of an amine of formula (I) provided that  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are not all ethyl and that when  $R^1$  is hydrogen and  $R_2$ ,  $R_3$  and  $R_4$  are not all ethyl.

According to a still further aspect of the present invention there is provided an aqueous agrochemical concentrate composition comprising paraquat or diquat and a salt of an amine for formula (I) provided that  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are not all ethyl and that when  $R^1$  is hydrogen and  $R_2$ ,  $R_3$  and  $R_4$  are not all ethyl and wherein the concentration of the paraquat or diquat is greater than 100 g/l.

Typically the pH of the paraquat or diquat composition of the invention will be from 3.0 to 8.0 and preferably from 4.0 to 8.0. In general the pH of the amine is adjusted with acid approximately to that of the paraquat or diquat composition and those nitrogen atoms of the amine which are sufficiently basic become protonated.

The amines of the present invention when used as sole adjuvant may provide effective bioperformance enhancement. There may be advantages however in using the amines of the present invention in combination with a second adjuvant. The

second adjuvant is preferably a surfactant. There is no particular limitation on the surfactant that may be used and numerous examples will occur to those skilled in the art. We have found that anionic, cationic, non-ionic or amphoteric surfactants may be effective.

5. As noted above the amines of the present invention may form a salt with an anionic surfactant or a surfactant having an acidic form. If desired, such a salt may be pre-formed by the reaction of the amines of the present invention with the anionic surfactant, for example in aqueous solution, but there is no particular need for such pre-reaction.

10 The ratio by weight of the amines of the present invention to the surfactant may vary within wide limits, for example from 50:1 to 1:50, and in particular from 10:1 to 1:1 by weight. In some instances a small proportion of the amines of the present invention may have a surprisingly large effect in enhancing the bioefficacy of conventional surfactants. Thus for example a ratio of the amines of the present  
15 invention to the surfactant of from 1:1 down to 1:25 by weight, for example from about 1:4 to 1:15 may show significant enhancement of the bioefficacy of the surfactant.

The ratio by weight of the amines of the present invention to the agrochemical active ingredient is preferably from 1:10 to 10:1, for example from 1:4 to 1:1. When  
20 the amines of the present invention are used in combination with one or more additional adjuvants, for example additional surfactants, the ratio by weight of the total adjuvant (amine of the present invention plus additional surfactants) is preferably from 1:10 to 10:1, for example from 1:4 to 1:1. The composition may contain further additives conventional in the art.

25 The invention is illustrated by the following Examples in which all parts and percentages are by weight unless otherwise stated.

#### EXAMPLE 1

The bioperformance enhancement of paraquat in the presence of amines of the present invention was evaluated. The amines were tested and the results are presented  
30 in Table 1. An aqueous formulation of paraquat dichloride containing 0.5% by weight of the amine (based on the weight of the salt of the amine and based on total spray volume) was applied using a moving track sprayer to eight representative weed

species at 10, 20 and 40 g /ha (based on paraquat ion). The spray volume was equivalent to 200 l/ha.

Three replicates of each test were undertaken and the biological data (% activity where 0% represents no herbicidal effect and 100% represents complete kill) at 7 days after treatment is expressed in Table 1 as a mean over all species based on an average response over the combined rates. The results are compared with an equivalent formulation containing only paraquat chloride.

Table 1

Amine of the Present Invention	Mean Activity (%)
None	54
Diethylamine as the hydrochloride salt	66
Triethylamine as the hydrochloride salt	67
Tetraethylammonium hydrochloride	69
Tetrapropylammonium hydrobromide	67
Tetrabutylammonium hydrobromide	72

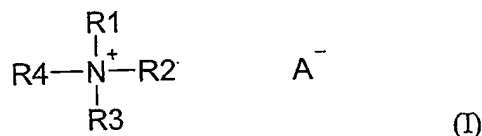


## CLAIMS

1. A method of improving the activity of an agrochemical which comprises incorporating an amine of formula (I) or a salt thereof in a composition comprising the agrochemical



- 5 wherein  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl  
 or  $\text{R}^1$  is hydrogen and  $\text{R}_2$ ,  $\text{R}_3$  and  $\text{R}_4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl  
 10 or  $\text{R}^1$  and  $\text{R}^2$  are hydrogen and  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl  
 and  $\text{A}^-$  is an agrochemically acceptable anion.
2. An agrochemical composition comprising an agrochemical active ingredient and a amine of formula (I)



- 15 wherein  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl  
 or  $\text{R}^1$  is hydrogen and  $\text{R}_2$ ,  $\text{R}_3$  and  $\text{R}_4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl  
 20 or  $\text{R}^1$  and  $\text{R}^2$  are hydrogen and  $\text{R}^3$  and  $\text{R}^4$  (which may be the same or different) are  $\text{C}_2$  to  $\text{C}_4$  alkyl  
 and  $\text{A}^-$  is an agrochemically acceptable anion  
 provided that  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  are not all ethyl and that when  $\text{R}^1$  is hydrogen  $\text{R}_2$ ,  $\text{R}_3$  and  $\text{R}_4$  are not all ethyl.
- 25 3. An aqueous agrochemical composition comprising paraquat or diquat and a salt of an amine of formula (I) provided that  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  are not all ethyl and that when  $\text{R}^1$  is hydrogen and  $\text{R}_2$ ,  $\text{R}_3$  and  $\text{R}_4$  are not all ethyl.
  4. An aqueous agrochemical concentrate composition comprising paraquat or diquat and a salt of an amine for formula (I) provided that  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$

are not all ethyl and that when  $R^1$  is hydrogen and  $R_2$ ,  $R_3$  and  $R_4$  are not all ethyl and wherein the concentration of the paraquat or diquat is greater than 100 g/l.